

=> s ll

SAMPLE SEARCH INITIATED 11:00:16 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 7 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 33 TO 447
 PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=> ll sss full

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=> s ll sss full

FULL SEARCH INITIATED 11:00:34 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 244 TO ITERATE

100.0% PROCESSED 244 ITERATIONS 133 ANSWERS
 SEARCH TIME: 00.00.01

L3 133 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.82	179.03

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 FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

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=> s 13

L4 2 L3

=> d 14 1-2 bib abs fhitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1089756 CAPLUS

DN 147:406841

TI Preparation of heterocyclic substituted pyridinylpiperazine compounds with CXCR3 antagonist activity

IN Rosenblum, Stuart B.; Kozlowski, Joseph A.; Shih, Neng-Yang; McGuiness, Brain F.; Hobbs, Douglas W.

PA Schering Corporation, USA; Pharmacopeia, Inc.

SO PCT Int. Appl., 132pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007/109238	A1	20070927	WO 2007-US6827	20070319
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				

PRAI US 2006-784504P P 20060321

OS MARPAT 147:406841

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = N, NO, or NOH; G = (un)substituted 5-membered heteroaryl or heterocyclenyl containing at least one C=N moiety; R3, R5, and R6 independently = H, CF3, CN, alkyl, etc.; R10 independently = H, alkyl, cycloalkyl, etc.; R11 independently = H, CO2H, aryl, etc.; R12 independently = H, CN, haloalkyl, etc.; D = 5-9 membered (un)substituted cycloalkyl, cycloalkenyl, aryl, etc.; Y = CO, -(CR13R13)p, CHR13CO, etc.; R13 independently = H, alkyl, alkylaryl, etc.; m and n independently = 0-4; p = 1-4], and their pharmaceutically acceptable salts, are prepared and disclosed of possessing CXCR3 antagonist activity. Thus, e.g., II was prepared by reaction of III (preparation given) with hydrazine followed by cyclocondensation with Et isocyanate. Ki values for CXCR3 antagonist activity are assayed for I, e.g., II demonstrated a Ki < 25 nM. Also disclosed is a method of using I in treating chemokine mediated diseases, such as, palliative therapy, curative therapy, prophylactic therapy of

certain diseases and conditions such as inflammatory diseases (non-limiting example(s) include, psoriasis), autoimmune diseases (non-limiting example(s) include, rheumatoid arthritis, multiple sclerosis), graft rejection (non-limiting example(s) include, allograft rejection, xenograft rejection), infectious diseases (e.g, tuberculous leprosy), fixed drug eruptions, cutaneous delayed-type hypersensitivity responses, ophthalmic inflammation, type I diabetes, viral meningitis and tumors.

IT 950848-43-8P

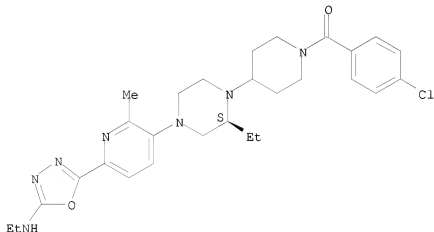
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic substituted pyridinylpiperazine compds. with cxcr3 antagonist activity)

RN 950848-43-8 CAPLUS

CN Methanone, (4-chlorophenyl) [4-[(2S)-2-ethyl-4-[6-[5-(ethylamino)-1,3,4-oxadiazol-2-yl]-2-methyl-3-pyridinyl]-1-piperazinyl]-1-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:550876 CAPLUS

DN 141:106495

TI Substituted 1-piperidin-3-yl-4-piperidin-4-yl-piperazine derivatives and their use as neurokinin antagonists

IN Janssens, Frans Eduard; Sommen, Francois Maria; De Boeck, Benoit Christian
Albert Ghislain; Leenaerts, Joseph Elisabeth

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004056364	A1	20040708	WO 2003-EP51035	20031217
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2509088	A1	20040708	CA 2003-2509088	20031217
	AU 2003300578	A1	20040714	AU 2003-300578	20031217
	EP 1578425	A1	20050928	EP 2003-813610	20031217
	EP 1578425	B1	20071121		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003017667	A	20051129	BR 2003-17667	20031217
	CN 1728999	A	20060201	CN 2003-80107008	20031217
	JP 2006512348	T	20060413	JP 2004-561502	20031217
	NZ 541036	A	20070727	NZ 2003-541036	20031217
	IN 2005DN02711	A	20070105	IN 2005-DN2711	20050620
	US 2006252747	A1	20061109	US 2005-540045	20050622
	MX 2005PA06888	A	20050816	MX 2005-PA6888	20050623
	NO 2005003598	A	20050920	NO 2005-3598	20050722
PRAI	WO 2002-EP14835	A	20021223		
	EP 2003-813610	A	20031217		
	WO 2003-EP51035	W	20031217		
OS	MARPAT 141:106495				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Q = O or NR3; X = covalent bond, -O-, -S-, or -NR3; R1 independently = Ar1, Ar1-alkyl, and di(Ar1)-alkyl; R2 = Ar2, Ar2-alkyl, di(Ar2)-alkyl, Het1, Het1-alkyl; R3 independently = H or alkyl; Y = covalent bond, -CO-, -SO2-, >C:CHR or >C:NR, wherein R = H, CN or NO2; M independently = covalent bond, (un)substituted-alkyl, -(un)saturated carbocycle; L = H, alkyloxy, Ar3oxy, alkylamine, etc.; Ar1 = (un)substituted phenyl; Ar2 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, aminocarbonyl, and alkyloxy; Ar3 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, amino, alkyloxy, OH, pyridinyl, etc.; Het1 = monocyclic heterocyclic radical selected from pyrrolyl, pyrazolyl, imidazolyl, furanyl, etc.; m = 1 or 2 provided that if m = 2, then n = 1; n = 0-2; p = 1-2; q = 0-11 and their pharmaceutically acceptable salts having neurokinin antagonistic activity, in particular NK1 antagonistic activity, a combined NK1/NK3 antagonistic activity and a combined NK1/NK2/NK3 antagonistic activity, their preparation, compds. comprising them and their use as a medicine, in particular for the treatment of schizophrenia, emesis, anxiety and depression, irritable bowel syndrome (IBS), circadian rhythm disturbances, visceral pain, neurogenic inflammation, asthma, micturition disorders such as urinary incontinence and nociception are disclosed. Thus, e.g., II was prepared via reaction of (2R-trans)-1-[3,5-

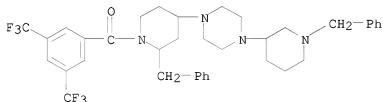
bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(1-piperazinyl)piperidine (preparation given) with 1-(phenylmethyl)-3-piperidinone. The receptor binding values (pIC50) for the h-NK1 ranges for all compds. according to the invention between 10 and 6. In view of their capability to antagonize the actions of tachykinins by blocking the neurokinin receptors, and in particular antagonizing the actions of substance P, Neurokinin A and Neurokinin B by blocking the NK1, NK2 and NK3 receptors, the compds. according to the invention are useful as a medicine, in particular in the prophylactic and therapeutic treatment of tachykinin-mediated conditions, such as, for instance CNS disorders, in particular schizoaffective disorders, depression, anxiety disorders, stress-related disorders, sleep disorders, cognitive disorders, personality disorders, eating disorders, neurodegenerative diseases, addiction disorders, mood disorders, sexual dysfunction, pain and other CNS-related conditions; inflammation; allergic disorders; emesis; gastrointestinal disorders, in particular irritable bowel syndrome (IBS); skin disorders; vasospastic diseases; fibrosing and collagen diseases; disorders related to immune enhancement or suppression and rheumatic diseases and body weight control.

IT 720713-39-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(stereoselective preparation of piperidinylpiperidinylpiperazines with tachykinin antagonist activity)

RN 720713-39-3 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-[4-[1-(phenylmethyl)-3-piperidinyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
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=> file caold

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
11.38	190.41

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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-1.60	-1.60

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L5 0 L3

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L6 0 L3

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10/540045

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	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-1.60

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